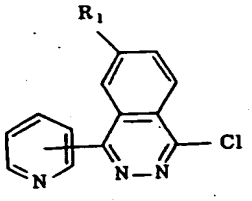

(IV)

Reaction is in a solvent (e.g. benzene, toluene, xylene, THF, dioxane, ethylene glycol diethyl ether, acetone, MeCOEt, CH_2Cl_2, $CHCl_3$, DMF, DMSO, MeCN, pyridine, MeOH, EtOH, t-BuOH) at 50-200°C for 5 mins to 24 hrs. Pref. at 60-150°C for 15 mins. - 10 hrs. if required in presence of a base (e.g. Na_2CO_3, K_2CO_3, Et_3N, N,N-diethylaniline, NaH).

EXAMPLE

A mixt. of 20.0g. 1-chloro-4-(3-pyridyl)phthalazine and 23.0 g. aniline was stirred under heating at 100°C for 30 mins. The solidified reaction mixt. was dissolved in CH_2Cl_2, washed with aq. Na_2CO_3 and water, dried on Na_2SO_4, and evapd. The residue was recrystd. from EtOH to give 19.3 g 1-anilino-4-(3-pyridyl)phthalazine, m.pt. 204-206°C.(7ppW52DAHDwgNo0/0).

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MORISHITA PHARM KK

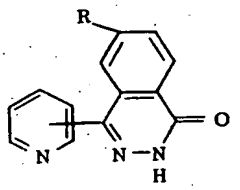
20.09.89-JP-246075 (07.05.91) C07d-401/04

4-Pyridyl-1(2H)-phthalazinone(s) - useful as intermediates for platelet agglutination

C91-075723

B(6-D6) N(4-D) ; 21a B0174

4-Pyridyl-1(2H)-phthalazinones of formula (I) are new:



(I)

R = H or MeO.

USE

(I) are intermediates for platelet agglutination-inhibiting cpds.

PREPARATION

(I) may be prepd. from 2-(2-bromophenyl)-4,4-dimethyl-2-oxazoline (II) as in the following example.

EXAMPLE

To a mixt. of 5.6 g. Mg ribbon and a catalytic amt. of iodine was treated dropwise with a soln. of 50 g. (II) in 100 ml THF with stirring to give a Grignard reagent. This was dropwise added to a soln. of 21 g. nicotinaldehyde in 150 ml THF under ice cooling at 0-5°C and the mixt. was stirred at room temp. for 4 hrs. and worked up to give 2-(4,4-dimethyl-2-oxazolin-2-yl)phenyl-3-pyridinemethanol, b.pt. 190-195°C/0.2 mmHg.

A soln. of the product (40 g.) in 420 ml DMSO and 280 ml Ac_2O was stirred at room temp. for 21 hrs. then poured into 500 ml ice water and extracted with benzene. The extract was washed with water, dried and evapd. and the residue distilled to give 30 g. 2-(4,4-dimethyl-2-oxazolin-2-yl)phenyl 3-pyridyl ketone, b.pt. 190-195°C/0.2mmHg.

A mixt. of the product (30 g.) and 360 ml 3N-HCl was refluxed under heating for 3 hrs. and then evapd. to dryness. The residue was dissolved in 300 ml EtOH, treated

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with hydrazine hydrate, and the mixt. refluxed under heating for 4 hrs. The pptd. crystals were collected by filtration, washed with water, and dried to give 19.0 g. 4-(3-pyridyl)-1(2H)-phthalazinone, m.pt. 271-272°C.

(W52DAHDwgNo0/0)

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